

Appl No. 09/868,276
Amdt. dated May 17, 2004
Notice of Allowance dated 02/19/04

Amendment to the Claims:

This listing of claims will replace all prior versions, and listings of claims in the application:

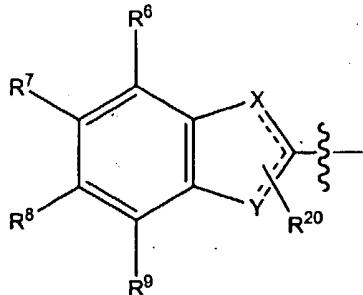
Listing of Claims:

1. (currently amended): A compound of Formula I:

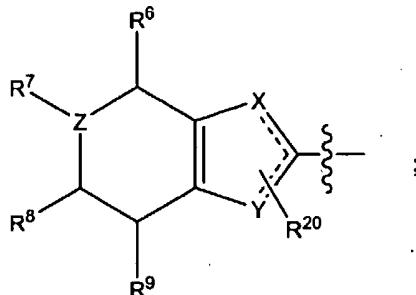
A-B

or pharmaceutically acceptable salts thereof, wherein

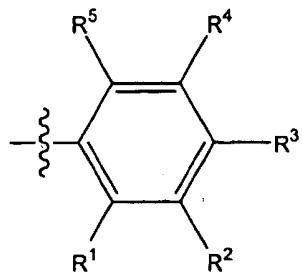
A represents



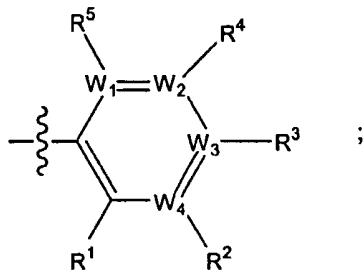
or



B represents



or



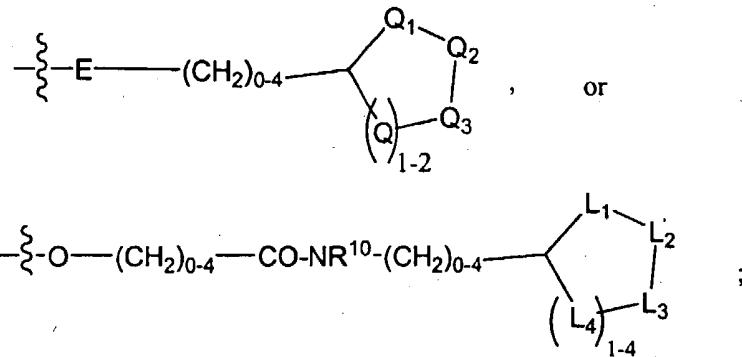
X and Y independently at each occurrence are selected from NH, N, C, or CH, such that at least one of X and Y always represents N or NH ; and

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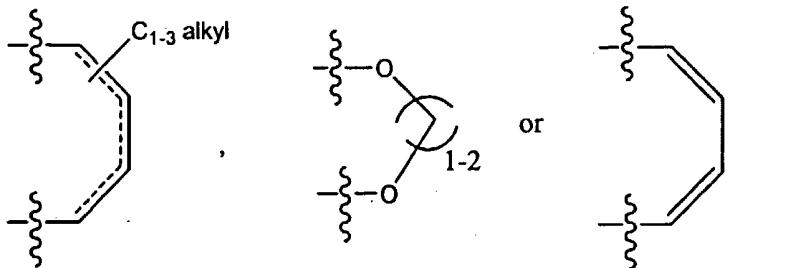
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Z represents C or N; provided that, (i) when Z represents N, R⁷ represents H or C(=NH)NH₂; R¹ represents OH, halogen, COOH, COO-C₁₋₄ alkyl, O-(CH₂)₀₋₁-Ph, N(R¹⁰)₂, CH₂OR¹⁰, C₁₋₆ halogenated alkyl, O-(CH₂)₁₋₄-CO-N(R¹⁰)₂, SC₁₋₄ alkyl, NSO₂C₁₋₄alkyl, SO₂-OH, O-SO₂-OH, O-SO₂-O-C₁₋₄ alkyl, OP(O)(OH)₂, or OP(O)(OH)OC₁₋₄ alkyl; R², R³, R⁴, and R⁵ independently at each occurrence represent H, SH, OR¹⁰, halogen, COOR¹⁰, CONR¹¹R¹², optionally substituted aryl, optionally substituted heterocyclyl, C₄₋₁₄ cycloalkyl-C₁₋₄ alkyl, C₁₋₄ alkyl aryl, optionally substituted C₁₋₁₄ straight chain, branched or cyclo alkyl, O-(CH₂)₂₋₆-NR¹⁰-(CH₂)₀₋₃-R²⁴, NR¹⁰R²⁴, (CH₂)₁₋₄-NR³³R³⁴, (CH₂)₁₋₄-COOR³³, O-(CH₂)₁₋₃-CO-het, O-(CH₂)₁₋₂-NH-CO-aryl, O-(CH₂)₁₋₂-NR¹⁰-CO-NR¹⁰R³³, O-(CH₂)₀₋₂-C(O)-NR³³R³⁴, O-(CH₂)₁₋₄-COOR¹⁰, O-(CH₂)₁₋₃-het-R³², O-optionally substituted cycloalkyl, O-(CH₂)₁₋₄-NR¹⁰-COO-t-butyl, O-(CH₂)₁₋₄-NR¹⁰R³³, O-(CH₂)₁₋₄-NR¹⁰-C(O)-C₀₋₃-alkyl-optionally substituted aryl, O-substituted cycloalkyl, O-(CH₂)₀₋₆-optionally substituted aryl, (CH₂)₁₋₄-NH-C(O)O-(CH₂)₁₋₄-PhR¹³R¹⁴, NO₂, O-(CH₂)₀₋₄-C(O)-NH-tetrahydro caroline, NR¹⁰R²⁸, O-(CH₂)₁₋₃-optionally substituted het, CH₂COOCH₃, CH=CH-COOCH₃, 5-amidino benzimidazole,



alternatively R² and R³ taken together form



R⁶ and R⁹ independently at each occurrence represents H, halogen, cyano, C₁₋₄ alkyl, C₁₋₄ halogenated alkyl, NO₂, O-aryl or OR¹¹;

R⁷ and R⁸ independently at each occurrence represent OH, CF₃, H, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, O-aryl, halogen, or cyano, or a basic group selected from guanidino, C(=NH)N(R¹⁰)₂, C(=NH)-NH-NH₂, C(=O)NH₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, and thiazolidin-3-yl-methylideneamine; with the proviso that one, but not both, of R⁷ and R⁸ represents a basic group;

R¹⁰ independently at each occurrence represents H, (CH₂)₀₋₂-aryl, C₁₋₄ halo alkyl, or C₁₋₁₄ straight chain, branched or cyclo alkyl, and alternatively, when one atom is substituted with two R¹⁰ groups, the atom along with the R¹⁰ groups can form a five to 10 membered ring structure;

R¹¹ and R¹² independently at each occurrence represent H or C₁₋₄ alkyl;

R²⁰ represents R²⁴, C₁₋₄-alkyl, (CH₂)₁₋₃-biphenyl, (CH₂)₁₋₄-Ph-N(SO₂-C₁₋₂-alkyl)₂, (CH₂)₁₋₄-NH-C(O)-R²⁴, (CH₂)₁₋₄-NH-SO₂-R²⁴, halogen, COOR¹⁰, (CH₂)₁₋₄-Ph-N(SO₂-C₁₋₂alkyl), (CH₂)₁₋₄-NR¹⁰-C(O)-R²⁴, (CH₂)₁₋₄-NR¹⁰-SO₂-R²⁴, (CH₂)₁₋₄-het, (CH₂)₁₋₄-CON(R¹⁰)₂, (CH₂)₁₋₄-N(R¹⁰)-C(O)-NR¹⁰R²⁴, (CH₂)₁₋₄-N(R¹⁰)-C(S)-NR¹⁰R²⁴, or (CH₂)₁₋₃-COOH;

R²⁴ represents R¹⁰, (CH₂)₁₋₄-optionally substituted aryl, (CH₂)₀₋₄OR¹⁰, CO-(CH₂)₁₋₂-N(R¹⁰)₂, CO(CH₂)₁₋₄-OR¹⁰, (CH₂)₁₋₄-COOR¹⁰, (CH₂)₀₋₄-N(R¹⁰)₂, SO₂R¹⁰, COR¹⁰, CON(R¹⁰)₂, (CH₂)₀₋₄-aryl-COOR¹⁰, (CH₂)₀₋₄-aryl-N(R¹⁰)₂, or (CH₂)₁₋₄-het-aryl;

R²⁸ represents (CH₂)₁₋₂-Ph-O-(CH₂)₀₋₂-het-R³⁰, C(O)-het, CH₂-Ph-CH₂-het-(R³⁰)₁₋₃; (CH₂)₁₋₄-cyclohexyl-R³¹, CH₂-Ph-O-Ph-(R³⁰)₁₋₂, CH₂-(CH₂OH)-het-R³⁰, CH₂-Ph-O-cycloalkyl-R³¹, CH₂-het-C(O)-CH₂-het-R³⁰, or CH₂-Ph-O-(CH₂)-O-het-R³⁰;

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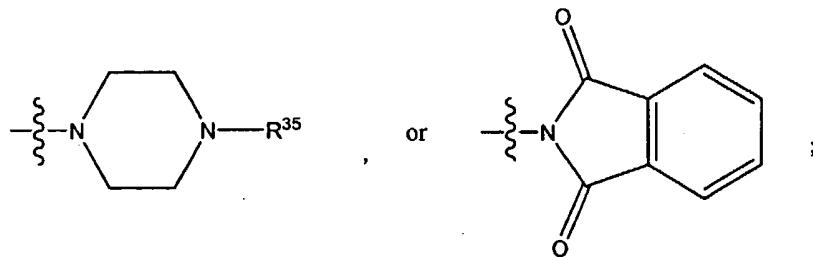
R^{30} represents $SO_2N(R^{10})_2$, H, NHOH, amidino, or $C(=NH)CH_3$;

R^{31} represents R^{30} , amino-amidino, $NH-C(=NH)CH_3$ or R^{10} ;

R^{32} represents H, $C(O)-CH_2-NH_2$, or $C(O)-CH(CH(CH_3)_2)-NH_2$;

R^{33} and R^{34} independently at each occurrence represent R^{10} , $(CH_2)_{0-4}-Ar$, optionally substituted aryl, $(CH_2)_{0-4}$ optionally substituted heteroaryl, $(CH_2)_{1-4}-CN$, $(CH_2)_{1-4}-N(R^{10})_2$, $(CH_2)_{1-4}-OH$, $(CH_2)_{1-4}-SO_2-N(R^{10})_2$; alternatively

R^{33} and R^{34} along with the nitrogen atom that they are attached form a 4 to 14 atom ring structure selected from tetrahydro-1H-carboline; 6,7-dialkoxyoxy-2-substituted 1,2,3,4-tetrahydro-isoquinoline,



R^{35} represents R^{10} , SO_2-R^{10} , COR^{10} , or $CONHR^{10}$;

E represents a bond, $S(O)_{0-2}$, O or NR^{10} ;

W_1 , W_2 , W_3 and W_4 independently represent C or N; and

Q , Q^1 , Q^2 , Q^3 , L^1 , L^2 , L^3 and L^4 independently at each occurrence represent N-natural or unnatural amino acid side chain, CHR^{10} , O, NH, $S(O)_{0-2}$, $N-C(O)-NHR^{10}$, $SO_2-N(R^{10})_2$, $N-C(O)-NH-(CH_2)_{1-4}-R^{26}$, NR^{10} , N-heteroaryl, $N-C(=NH)-NHR^{10}$, or $N-C(=NH)C_{1-4}$ alkyl;

R^{26} represents OH, NH_2 , or SH;

provided that, (i) when $R^1 = OH$; $R^7 =$ amidine; R^2 , R^6 , R^8 , R^9 , and R^{20} each represent H; and R^3 , R^4 , R^5 are independently chosen from H, CH_3 , and halogen, then only one of R^3 , R^4 , and R^5 represents H; (ii) when $R^1 = OH$; $R^7 =$ amidine; R^2 , R^3 , R^4 , R^5 , and R^{20} each represent H; and R^6 , R^8 , R^9 are independently chosen from H, CH_3 , and halogen, then only one of R^6 , R^8 , and R^9 represents H; (iii) at least two of W_1 , W_2 , W_3 and W_4 represent C and at least one of W_1 , W_2 , W_3 and W_4 represent N; and (iv) when $R^1 = OH$; $R^7 =$ amidine; and R^2 , R^3 , R^4 , R^5 , R^6 , R^8 , and R^9 , represent H, R^{20} cannot be CH_3 .

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2. (canceled)

3. (previously presented): A compound of Claim 1 wherein

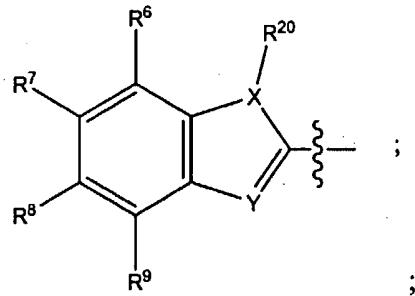
R^1 represents OH, O-Ph, COOH or $P(O)(OH)_2$;

R^7 represents $CONH_2$, CN, $C(=NH)-NH-NH_2$, $NH-C(=NH)-NH_2$ or $C(=NH)-NH_2$;

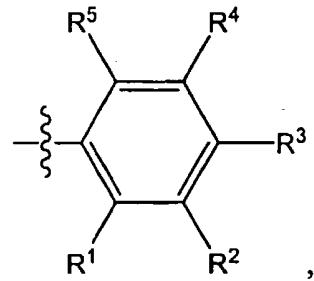
R^{20} represents H, C_{1-2} alkyl, $(CH_2)_{1-4}$ -optionally substituted aryl, $(CH_2)_{1-4}$ -het; $(CH_2)_{1-4}N(R^{10})_2$, $(CH_2)_{1-4}CON(R^{10})_2$, $(CH_2)_{1-4}NR^{10}C(O)-R^{24}$, $(CH_2)_{1-4}NR^{10}SO_2-R^{24}$, or $(CH_2)_{1-3}COOH$;

4. (previously presented): A compound of claim 3 wherein

A represents



B represents



X and Y represent N; and

R^7 represents $-CONH_2$ or $C(=NH)-NH_2$.

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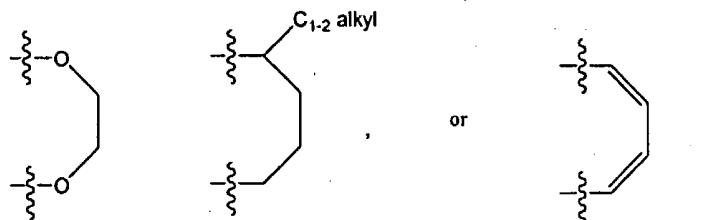
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5. (previously presented): A compound of claim 4 wherein

R^1 represents OH, -COOH, or O-P(O)(OH)₂;

R^2 and R^3 independently represent halogen, H, C₁₋₄ alkyl, Ph, toluyl, OH, O-(CH₂)₁₋₂-C(O)-NH-(CH₂)₁₋₂-CN, O-(CH₂)₁₋₃-Ph-*p*-OCH₃, O-CH₂-C(O)-NH-(CH₂)₁₋₂-CH-(CH₃)₂, O-CH₂-C(O)-NH-(CH₂)-Ph, O-CH₂-C(O)-NH-(CH₂)-Ph-*p*CH₃, O-C₁₋₃ alkyl, O-(CH₂)₀₋₂-Ph- R^{10} , O-CH₂-C(O)-NH-(CH₂)₂-H, Ph-C₁₋₃ alkyl, Ph-N(R^{10})₂, O-(CH₂)₁₋₃-het, O-(CH₂)₁₋₃-Ph-halo, O-(CH₂)₁₋₃-NHSO₂Ph- R^{10} , O-(CH₂)₁₋₃-NHCO-(CH₂)₀₋₂-Ph, O-CH₂-C(O)-NH-CH₂-COO-C(CH₃)₃, O-(CH₂)₂-NHC(O)-CH₂-NH₂, -OPh, O-(CH₂)₁₋₃-NH-het, O-(CH₂)₂-NH-C(O)-pyridyl, O-(CH₂)₂-NH-C(O)-NH-benzyl, O-(CH₂)₂-cyclohexyl, O-(CH₂)₂-NH-C(O)-(CH₂)₂-CONH₂, O-(CH₂)₂-NH-C(O)-CH₂-OCH₃, thiophene, pyridyl or O-(CH₂)₂-pyridyl; alternatively R^2 and R^3 taken together form



R^4 represents halogen, H, NO₂, C₁₋₂-alkyl, CH=CH-COOCH₃, NHSO₂C₁₋₂ alkyl, NHCO-het, (CH₂)₁₋₃-COOR¹⁰, (CH₂)₁₋₃-CONH-(CH₂)₁₋₃-pyridyl, or (CH₂)₁₋₃-CONH-(CH₂)₁₋₃-dichlorophenyl;

R^5 represents H;

R^6 represents H;

R^7 represents C(=NH)-NH₂ or NH(=NH)NH₂;

R^8 represents H, halogen, OR¹⁰, CF₃, or C(=NH)-NH₂;

R^9 represents H or halogen; and

R^{20} represents H.

6. (canceled)

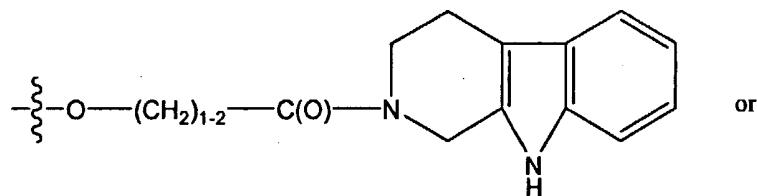
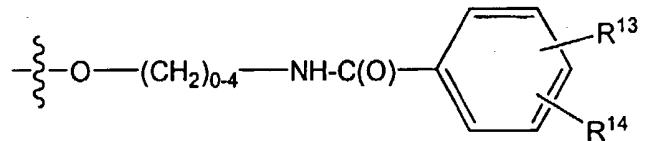
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7. (currently amended): A compound of claim 5 wherein

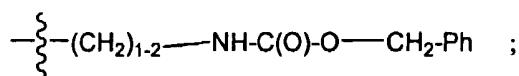
R^1 represents OH, or COOH;

R^2 represents H, halogen, OH, phenyl, $O-(CH_2)_{1-3}-Ph$, imidazolyl, 5-amidino benzimidazolyl, $O-(CH_2)_{1-2}-C(O)-NH-C_{1-6}$ alkyl, or $O-CH_2-C(O)-NH-CH_2-Ph$;

R^3 represents H, $O-CH_2-COOH$, $O-CH_2-C(O)O-C_2H_5$, $O-CH_2-C(O)-NH-(CH_2)_{1-4}-aryl$, $O-(CH_2)_{1-4}-NH-C(O)-naphthyl$, $CONH_2$, $O-(CH_2)_{1-2}-C(O)N(R^{10})-(CH_2)_{1-3}-Ph-R^{13}R^{14}$, $O-CH_2-C(O)-N(R^{10})-CH_2-piperanyl$, $O-CH_2-C(O)-NH-CH_2-indoyl$, $(CH_2)_{0-4}-aryl$,

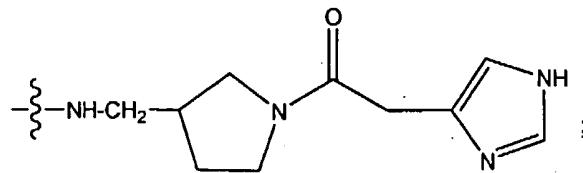
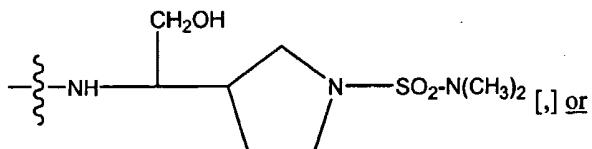
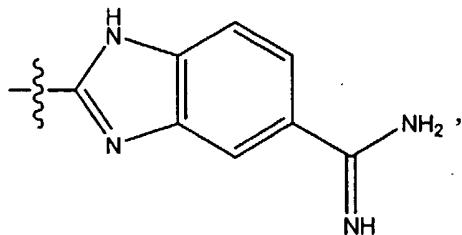


or



R^4 represents H, -CH₃, halogen, -OCH₃, -(CH₂)₁₋₂COOR¹⁰, -COOH, -NO₂, -OH, aryl,

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R⁵ represents H;

R⁶ represents H;

R⁷ represents -C(O)-NH₂ [,] or -C(=NH)-NH₂;

R⁸ represents H, Cl, F, OH or OCH₃;

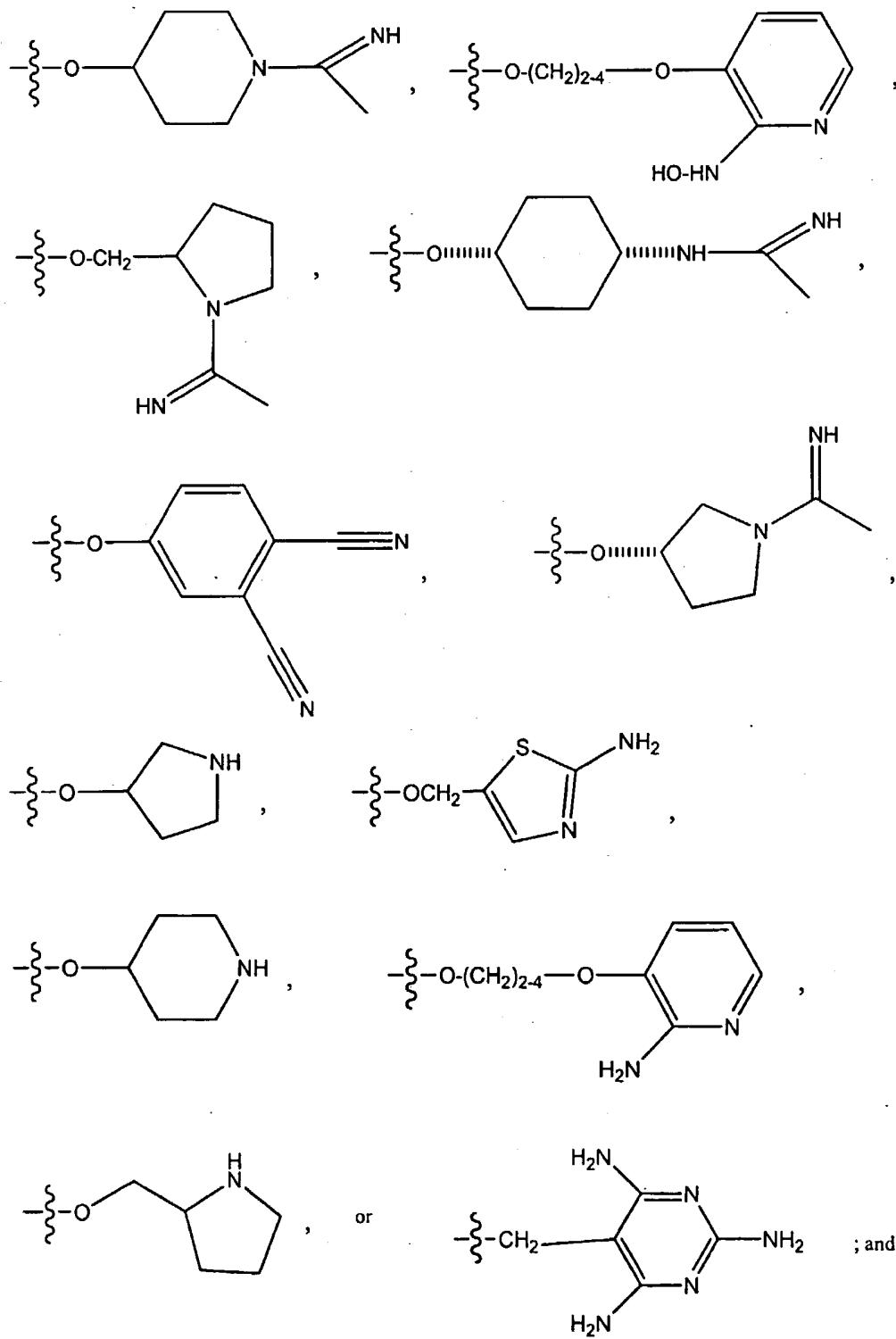
R⁹ represents H; and

R¹³ and R¹⁴ independently at each occurrence represents H, halogen, -OC₁₋₂ alkyl, -CF₃, or

-C₁₋₄ alkyl; and

R¹⁵ represents H,

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R²⁰ represents H or -CH₂-Ph.

8. (previously presented): A compound selected from

3-[3-Bromo-5-(6-carbamimidoyl-1H-benzimidazol-2-yl)-4-hydroxy-phenyl]-N-phenethyl-propionamide;
3-[4-(6-Carbamimidoyl-1H-benzimidazol-2-yl)-3-hydroxy-phenyl]-N-(2,3-dichloro-benzyl)-propionamide;
2-[4-(6-Carbamimidoyl-1H-benzimidazol-2-yl)-3-hydroxy-phenoxy]-N-(2,3-dichloro-benzyl)-acetamide;
3-[3-Bromo-5-(6-carbamimidoyl-1H-benzimidazol-2-yl)-4-hydroxy-phenyl]-N-[2-(2,4-dichloro-phenyl)-ethyl]-propionamide;
3-[3-Bromo-5-(6-carbamimidoyl-1H-benzimidazol-2-yl)-4-hydroxy-phenyl]-N-(2-pyridin-2-yl-ethyl)-propionamide;
3-[3-Bromo-5-(6-carbamimidoyl-1H-benzimidazol-2-yl)-4-hydroxy-phenyl]-N-(3-phenyl-propyl)-propionamide;
2-[4-(6-Carbamimidoyl-1H-benzimidazol-2-yl)-3-hydroxy-phenoxy]-N-naphthalen-1-ylmethyl-acetamide;
2-(3'-Amino-5-chloro-2-hydroxy-biphenyl-3-yl)-3H-benzimidazole-5-carboxamidine;
3-[3-Bromo-5-(6-carbamimidoyl-1H-benzimidazol-2-yl)-4-hydroxy-phenyl]-propionic acid;
2-(3,5-Bis-hydroperoxy-2-hydroxy-phenyl)-3H-benzimidazole-5-carboxamidine;
2-[4-(5-Carbamimidoyl-1H-benzimidazol-2-yl)-3-hydroxy-phenoxy]-N-(3-chloro-benzyl)-acetamide;
N-Benzyl-3-[3-bromo-5-(6-carbamimidoyl-1H-benzimidazol-2-yl)-4-hydroxy-phenyl]-propionamide;
2-(3,5-Dibromo-2,4-dihydroxy-phenyl)-3H-benzimidazole-5-carboxamidine;
2-(2-Hydroxy-biphenyl-3-yl)-3H-benzimidazole-5-carboxamidine;
2-(5-Chloro-2-hydroxy-biphenyl-3-yl)-3H-benzimidazole-5-carboxamidine;
2-(2-Hydroxy-3-phenethoxy-phenyl)-3H-benzimidazole-5-carboxamidine;

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N-(3-Bromo-benzyl)-2-[4-(5-carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-phenoxy]-acetamide;
2-{3-[1-(3-Amino-propionyl)-pyrrolidin-2-ylmethoxy]-2-hydroxy-phenyl}-3H-benzoimidazole-5-carboxamidine;
2-(5-Chloro-2-hydroxy-3-pyridin-3-yl-phenyl)-1H-benzoimidazole-5-carboxamidine;
2-[3-(5-Carbamimidoyl-1H-benzoimidazol-2-yl)-2-hydroxy-phenyl]-3,4,6,7-tetrahydro-
imidazo[4,5-c]pyridine-5-carboxamidine;
2-[3-(1-Aminoacetyl-pyrrolidin-2-ylmethoxy)-2-hydroxy-phenyl]-3H-benzoimidazole-5-
carboxamidine;
2-(2-Hydroxy-3-phenoxy-phenyl)-3H-benzoimidazole-5-carboxamidine;
2-[2-Hydroxy-3-(1-methyl-1H-benzoimidazol-2-yl)-phenyl]-1H-benzoimidazole-5-
carboxamidine;
2-[3-(1-Aminoacetyl-piperidin-3-ylmethoxy)-2-hydroxy-phenyl]-1H-benzoimidazole-5-
carboxamidine;
2-{3-[1-(2-Amino-3-methyl-butyryl)-pyrrolidin-2-ylmethoxy]-2-hydroxy-phenyl}-1H-
benzoimidazole-5-carboxamidine;
2-[2-Hydroxy-3-(1-hydroxyacetyl-pyrrolidin-2-ylmethoxy)-phenyl]-1H-benzoimidazole-5-
carboxamidine;
2-(2-Hydroxy-5-iodo-3-methoxy-phenyl)-1H-benzoimidazole-5-carboxamidine;
2-{3-[1-(2-Amino-3-methyl-butyryl)-pyrrolidin-2-ylmethoxy]-2-hydroxy-phenyl}-3H-
benzoimidazole-5-carboxamidine;
2-(2-Hydroxy-5-{4-[1-(1-imino-ethyl)-piperidin-4-yloxy]-benzylamino}-phenyl)-3H-
benzoimidazole-5-carboxamidine; compound with methane;
2-(2-Hydroxy-5-{4-[1-(1-imino-ethyl)-piperidin-3-ylmethoxy]-benzylamino}-phenyl)-3H-
benzoimidazole-5-carboxamidine;
2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-3H-benzoimidazole-5-carboxamidine;
3-[2,6-Dibromo-4-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-phenoxy]-propionic
acid;

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3-[2,6-Dibromo-4-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-phenoxy]-propionic acid ethyl ester; and
2-[3-Bromo-2-hydroxy-5-(3-methoxy-but-3-enyl)-phenyl]-3H-benzoimidazole-5-carboxamidine;
or a stereoisomer or pharmaceutically acceptable salt form thereof.

9. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.

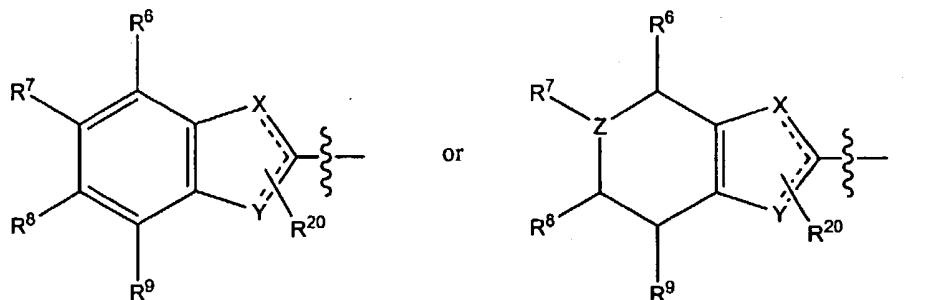
10. (canceled)

11. (previously presented): A method for treating or preventing a arterial thromboembolism, comprising administering to a patient in need thereof a therapeutically effective amount of a compound having the formula:

A-B

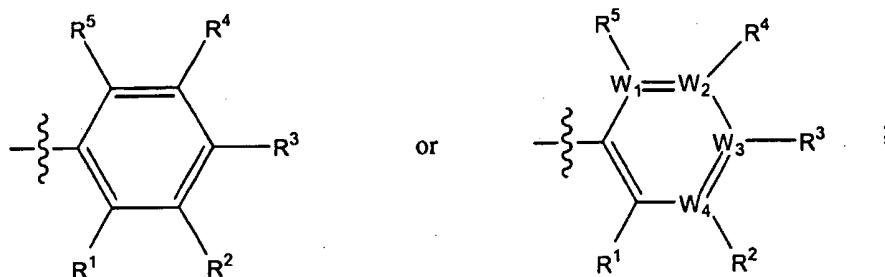
or pharmaceutically acceptable salts thereof, wherein

A represents



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B represents



X and Y independently at each occurrence are selected from NH, N, C, or CH, such that at

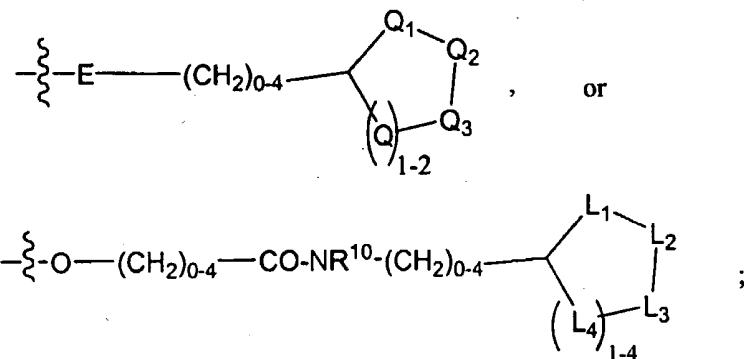
least one of X and Y always represents N or NH ; and

Z represents C or N; provided that, (i) when Z represents N, R⁷ represents H or C(=NH)NH₂;
R¹ represents OH, halogen, COOH, COO-C₁₋₄ alkyl, O-(CH₂)₀₋₁-Ph, N(R¹⁰)₂, CH₂OR¹⁰, C₁₋₆ halogenated alkyl, O-(CH₂)₁₋₄-CO-N(R¹⁰)₂, SC₁₋₄ alkyl, NHSO₂C₁₋₄alkyl, SO₂-OH, O-SO₂-OH, O-SO₂-O-C₁₋₄ alkyl, OP(O)(OH)₂, or OP(O)(OH)OC₁₋₄ alkyl;
R², R³, R⁴, and R⁵ independently at each occurrence represent H, SH, OR¹⁰, halogen, COOR¹⁰, CONR¹¹R¹², optionally substituted aryl, optionally substituted heterocyclyl, C₄₋₁₄ cycloalkyl-C₁₋₄ alkyl, C₁₋₄ alkyl aryl, optionally substituted C₁₋₁₄ straight chain, branched or cyclo alkyl, O-(CH₂)₂₋₆-NR¹⁰-(CH₂)₀₋₃-R²⁴, NR¹⁰R²⁴, (CH₂)₁₋₄-NR³³R³⁴, (CH₂)₁₋₄-COOR³³, O-(CH₂)₁₋₃-CO-het, O-(CH₂)₁₋₂-NH-CO-aryl, O-(CH₂)₁₋₂-NR¹⁰-CO-NR¹⁰R³³, O-(CH₂)₀₋₂-C(O)-NR³³R³⁴, O-(CH₂)₁₋₄-COOR¹⁰, O-(CH₂)₁₋₃-het-R³², O- optionally substituted cycloalkyl, O-(CH₂)₁₋₄-NR¹⁰-COO-t-butyl, O-(CH₂)₁₋₄-NR¹⁰R³³, O-(CH₂)₁₋₄-NR¹⁰-C(O)-C₀₋₃-alkyl-optional substituted aryl, O-substituted cycloalkyl, O-(CH₂)₀₋₆-optional substituted aryl, (CH₂)₁₋₄-NH-C(O)O-(CH₂)₁₋₄-PhR¹³R¹⁴, NO₂, O-(CH₂)₀₋₄-C(O)-NH-tetrahydro carboline, NR¹⁰R²⁸, O-(CH₂)₁₋₃-optional substituted het, CH₂COOCH₃, CH=CH-COOCH₃, 5-amidino benzimidazole,

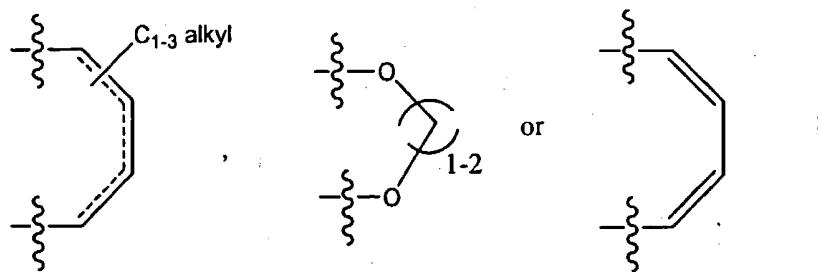
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alternatively R² and R³ taken together form



R⁶ and R⁹ independently at each occurrence represents H, halogen, cyano, C₁₋₄ alkyl, C₁₋₄ halogenated alkyl, NO₂, O-aryl or OR¹¹;

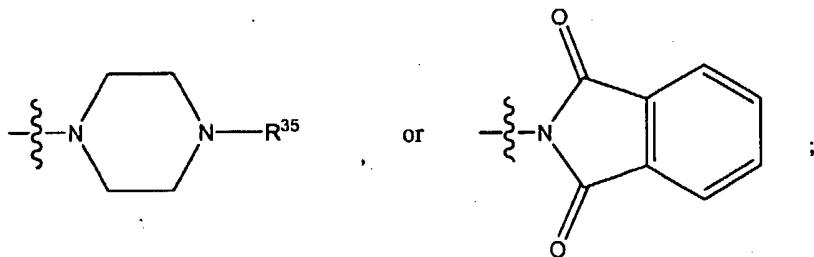
R⁷ and R⁸ independently at each occurrence represent OH, CF₃, H, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, O-aryl, halogen, or cyano, or a basic group selected from guanidino, C(=NH)N(R¹⁰)₂, C(=NH)-NH-NH₂, C(=O)NH₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, and thiazolidin-3-yl-methylideneamine; with the proviso that one, but not both, of R⁷ and R⁸ represents a basic group;

R¹⁰ independently at each occurrence represents H, (CH₂)₀₋₂-aryl, C₁₋₄ halo alkyl, or C₁₋₁₄ straight chain, branched or cyclo alkyl, and alternatively, when one atom is substituted with two R¹⁰ groups, the atom along with the R¹⁰ groups can form a five to 10 membered ring structure;

R¹¹ and R¹² independently at each occurrence represent H or C₁₋₄ alkyl;

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R^{20} represents R^{24} , C_{1-4} -alkyl, $(CH_2)_{1-3}$ -biphenyl, $(CH_2)_{1-4}$ -Ph-N(SO₂-C₁₋₂-alkyl)₂, $(CH_2)_{1-4}$ -NH-C(O)-R²⁴, $(CH_2)_{1-4}$ -NH-SO₂-R²⁴, halogen, COOR¹⁰, $(CH_2)_{1-4}$ -Ph-N(SO₂-C₁₋₂-alkyl), $(CH_2)_{1-4}$ -NR¹⁰-C(O)-R²⁴, $(CH_2)_{1-4}$ -NR¹⁰-SO₂-R²⁴, $(CH_2)_{1-4}$ -het, $(CH_2)_{1-4}$ -CON(R¹⁰)₂, $(CH_2)_{1-4}$ -N(R¹⁰)-C(O)-NR¹⁰R²⁴, $(CH_2)_{1-4}$ -N(R¹⁰)-C(S)-NR¹⁰R²⁴, or $(CH_2)_{1-3}$ -COOH;
 R^{24} represents R¹⁰, $(CH_2)_{1-4}$ -optionally substituted aryl, $(CH_2)_{0-4}$ OR¹⁰, CO-(CH₂)₁₋₂-N(R¹⁰)₂, CO(CH₂)₁₋₄-OR¹⁰, $(CH_2)_{1-4}$ -COOR¹⁰, $(CH_2)_{0-4}$ -N(R¹⁰)₂, SO₂R¹⁰, COR¹⁰, CON(R¹⁰)₂, $(CH_2)_{0-4}$ -aryl-COOR¹⁰, $(CH_2)_{0-4}$ -aryl-N(R¹⁰)₂, or $(CH_2)_{1-4}$ -het-aryl;
 R^{28} represents $(CH_2)_{1-2}$ -Ph-O-(CH₂)₀₋₂-het-R³⁰, C(O)-het, CH₂-Ph-CH₂-het-(R³⁰)₁₋₃; $(CH_2)_{1-4}$ -cyclohexyl-R³¹, CH₂-Ph-O-Ph-(R³⁰)₁₋₂, CH₂-(CH₂OH)-het-R³⁰, CH₂-Ph-O-cycloalkyl-R³¹, CH₂-het-C(O)-CH₂-het-R³⁰, or CH₂-Ph-O-(CH₂)-O-het-R³⁰;
 R^{30} represents SO₂N(R¹⁰)₂, H, NHOH, amidino, or C(=NH)CH₃;
 R^{31} represents R³⁰, amino-amidino, NH-C(=NH)CH₃ or R¹⁰;
 R^{32} represents H, C(O)-CH₂-NH₂, or C(O)-CH(CH(CH₃)₂)-NH₂;
 R^{33} and R^{34} independently at each occurrence represent R¹⁰, $(CH_2)_{0-4}$ -Ar, optionally substituted aryl, $(CH_2)_{0-4}$ optionally substituted heteroaryl, $(CH_2)_{1-4}$ -CN, $(CH_2)_{1-4}$ -N(R¹⁰)₂, $(CH_2)_{1-4}$ -OH, $(CH_2)_{1-4}$ -SO₂-N(R¹⁰)₂; alternatively,
 R^{33} and R^{34} along with the nitrogen atom that they are attached form a 4 to 14 atom ring structure selected from tetrahydro-1H-carboline; 6,7-dialkoxyoxy-2-substituted 1,2,3,4-tetrahydro-isoquinoline,



R^{35} represents R¹⁰, SO₂-R¹⁰, COR¹⁰, or CONHR¹⁰;
E represents a bond, S(O)₀₋₂, O or NR¹⁰;
W₁, W₂, W₃ and W₄ independently represent C or N; and

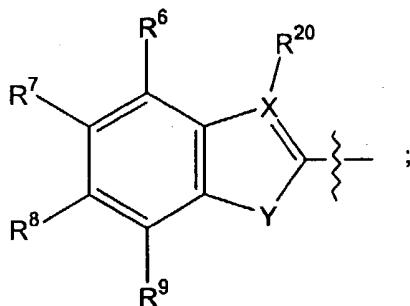
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Q, Q¹, Q², Q³, L¹, L², L³ and L⁴ independently at each occurrence represent N-natural or unnatural amino acid side chain, CHR¹⁰, O, NH, S(O)₀₋₂, N-C(O)-NHR¹⁰, SO₂-N(R¹⁰)₂, N-C(O)-NH-(CH₂)₁₋₄-R²⁶, NR¹⁰, N-heteroaryl, N-C(=NH)-NHR¹⁰, or N-C(=NH)C₁₋₄ alkyl;

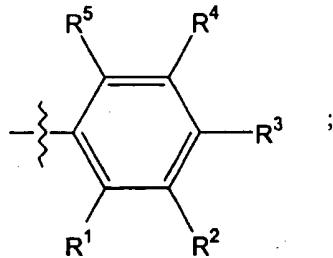
R²⁶ represents OH, NH₂, or SH;

provided that, (i) when R¹ = OH; R⁷ = amidine; R², R⁶, R⁸, R⁹, and R²⁰ each represent H; and R³, R⁴, R⁵ are independently chosen from H, CH₃, and halogen, then only one of R³, R⁴, and R⁵ represents H; (ii) when R¹ = OH; R⁷ = amidine; R², R³, R⁴, R⁵, and R²⁰ each represent H; and R⁶, R⁸, R⁹ are independently chosen from H, CH₃, and halogen, then only one of R⁶, R⁸, and R⁹ represents H; (iii) at least two of W₁, W₂, W₃ and W₄ represent C and at least one of W₁, W₂, W₃ and W₄ represent N; and (iv) when R¹ = OH; R⁷ = amidine; and R², R³, R⁴, R⁵, R⁶, R⁸, and R⁹, represent H, R²⁰ cannot be CH₃, or a pharmaceutically acceptable salt thereof.

12. (previously presented): A compound of Claim [2] 1 wherein A represents



B represents



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X represents C; and

Y represents NH.

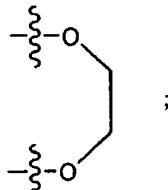
13. (previously presented): A compound of claim 12 wherein

R¹ represents -OH, -COOH, or P(O)(OH)₂;

R² represents H, halogen, R¹⁰, -aryl, heteroaryl, -C₁₋₂-alkyl, COOH, -OC₁₋₂-alkyl, or -O-(CH₂)₀₋₂-aryl;

R³ represents H or -O-(CH₂)₁₋₃-COOH;

alternatively R² and R³ taken together represent



R⁴ represents H, C₁₋₄ alkyl, -(CH₂)₁₋₄-COOH, -(CH₂)₁₋₄-COOC₁₋₂-alkyl, halogen, -(CH₂)₁₋₂-CONH₂, -CONH₂, -NO₂, -O-C₁₋₂ alkyl, or -OH;

R⁵ represents H, C₁₋₃ alkyl or -COOH;

R⁶ represents H, halogen, or -C₁₋₃ alkyl;

R⁷ represents -C(O)-NH₂, -C(=NH)-NH-NH₂, or amidino;

R⁸ represents H, or halogen; and

R²⁰ represents H, -(CH₂)₁₋₄-Ph-N(SO₂-C₁₋₂alkyl), -(CH₂)₁₋₄-NR¹⁰-C(O)-R²⁴, -(CH₂)₁₋₄-NR¹⁰-SO₂-R²⁴, -(CH₂)₁₋₄-het, -(CH₂)₁₋₄-CON(R¹⁰)₂, -(CH₂)₁₋₄-N(R¹⁰)-C(O)-NR¹⁰R²⁴, -(CH₂)₁₋₄-N(R¹⁰)-C(S)-NR¹⁰R²⁴, -C₁₋₂-alkyl, -(CH₂)₁₋₄-optionally substituted aryl, -(CH₂)₁₋₄-het; -(CH₂)₁₋₃-N(R¹⁰)₂; -(CH₂)₁₋₄-CON(R¹⁰)₂, or -(CH₂)₁₋₃-COOH.

14. (original) A compound of claim 13 wherein the compound is selected from
3-Benzyl-2-(3-chloro-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
3-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-propionic acid;
[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-acetic acid;
6-Chloro-2-(3,5-dichloro-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;

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3-Bromo-5-(5-carbamimidoyl-1H-indol-2-yl)-4-hydroxy-benzamide;
2-(3,5-Dichloro-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
3-(4-Amino-benzyl)-2-(3-bromo-2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;
2-(2-Hydroxy-biphenyl-3-yl)-1H-indole-5-carboxamidine;
2-(3-Bromo-2-hydroxy-5-nitro-phenyl)-1H-indole-5-carboxamidine;
2-(5-Hydroxy-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-indole-5-carboxamidine;
3-Benzyl-2-(2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
3-Benzyl-2-(3,5-difluoro-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
3-Benzyl-2-(3,5-dibromo-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
[3-Bromo-5-(5-carbamimidoyl-1H-indol-2-yl)-4-hydroxy-phenyl]-acetic acid;
3-Benzyl-2-(5-chloro-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
2-[3-Bromo-5-(5-carbamimidoyl-1H-indol-2-yl)-4-hydroxy-phenyl]-acetamide;
2-(3,5-Difluoro-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
2-(3,5-Dibromo-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
2-(2-Hydroxy-5-methyl-biphenyl-3-yl)-1H-indole-5-carboxamidine;
2-(2-Hydroxy-5,4'-dimethyl-biphenyl-3-yl)-1H-indole-5-carboxamidine;
2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;
3-Benzyl-2-(3-bromo-2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;
3-Benzyl-2-(3-chloro-2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;
3-Benzyl-2-(2-hydroxy-3,5-dimethyl-phenyl)-1H-indole-5-carboxamidine;
2-(3,5-Dibromo-2-hydroxy-phenyl)-3-methyl-1H-indole-5-carboxamidine;
2-(2-Hydroxy-5-methyl-3-thiophen-2-yl-phenyl)-1H-indole-5-carboxamidine;
2-[2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-5-carbamimidoyl-1H-indol-3-yl]-acetamide;
[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-acetic acid methyl ester;
3-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-propionic acid methyl ester;
3-(3-Amino-benzyl)-2-(3-bromo-2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;

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2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-3-(3-nitro-benzyl)-1H-indole-5-carboxamidine;

3-(3-Amino-benzyl)-2-(2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;

3-Benzyl-2-(3-chloro-2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;

6-Chloro-2-{5-[2-(1,1-dioxo-1-thiomorpholin-4-yl)-2-oxo-ethyl]-2-hydroxy-biphenyl-3-yl}-

1H-indole-5-carboxamidine;

2-[5-(5-Carbamimidoyl-6-chloro-1H-indol-2-yl)-6-hydroxy-biphenyl-3-yl]-N-(2-piperidin-1-yl-ethyl)-acetamide;

6-Chloro-2-{2-hydroxy-5-[2-(2-methoxymethyl-pyrrolidin-1-yl)-2-oxo-ethyl]-biphenyl-3-yl}-1H-indole-5-carboxamidine;

6-Chloro-2-{2-hydroxy-5-[2-oxo-3-(tetrahydro-furan-2-yl)-propyl]-biphenyl-3-yl}-1H-indole-5-carboxamidine;

2-[5-(5-Carbamimidoyl-6-chloro-1H-indol-2-yl)-6-hydroxy-biphenyl-3-yl]-N-(tetrahydro-furan-2-ylmethyl)-acetamide;

2-[5-(5-Carbamimidoyl-6-chloro-1H-indol-2-yl)-6-hydroxy-biphenyl-3-yl]-N-(3-methoxy-propyl)-acetamide;

Morpholine-4-carboxylic acid {2-[5-(5-carbamimidoyl-6-chloro-1H-indol-2-yl)-6-hydroxy-biphenyl-3-yloxy]-ethyl}-amide;

Phosphoric acid mono-{2-[3-(3-benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-ethyl} ester;

2-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-N-{4-[1-(1-imino-ethyl)-piperidin-4-yloxy]-phenyl}-acetamide;

4-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-butyric acid;

2-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-acetamide;

2-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-N,N-dimethyl-acetamide;

[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-acetic acid;

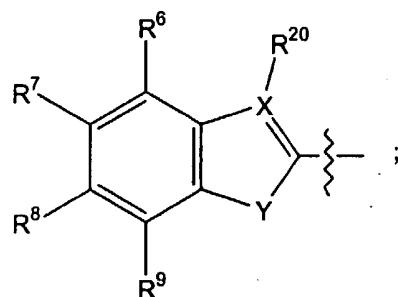
3-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-pentanedioic acid bis-[(2-morpholin-4-yl-ethyl)-amide];

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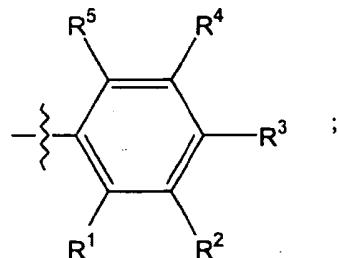
3-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-propionamide;
and
2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-3-(4-nitro-benzyl)-1H-indole-5-carboxamidine;
or a stereoisomer or pharmaceutically acceptable salt form thereof.

15. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 12 or a pharmaceutically acceptable salt thereof.

16. (previously presented): The method of Claim 11 wherein A represents



B represents



X represents C; and

Y represents NH.